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=> agonist and antagonist and IC50 and ratio

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L7 2 AGONIST AND ANTAGONIST AND IC50 AND RATIO

=> d 17 ibib abs total

L7 ANSWER 1 OF 2 LIFESCI COPYRIGHT 2008 CSA on STN

ACCESSION NUMBER: 86:15032 LIFESCI

TITLE: Agonist and antagonist actions of

buprenorphine on three types of opioid receptor in isolated

preparations.

AUTHOR: Kajiwara, M.; Aoki, K.; Ishii, K.; Numata, H.; Matsumiya,

T.; Oka, T.

CORPORATE SOURCE: Dep. Pharmacol., Sch. Med., Tokai Univ., Isehara 259-11,

Japan

SOURCE: JAP. J. PHARMACOL., (1986) vol. 40, no. 1, pp. 95-101.

DOCUMENT TYPE: Journal FILE SEGMENT: N3 LANGUAGE: English SUMMARY LANGUAGE: English

Both agonist and antagonist actions of buprenorphine on isolated preparations were studied. The K sub(e) (equilibrium dissociation constant) values of both naloxone and Mr 2266 against buprenorphine and the ratio of IC50 (concentration of the drug to produce 50% inhibition of the twitch) value of buprenorphine after to before exposure of mouse vas deferens to beta -FNA (beta -fumaramate methyl ester derivatives of naltrexone), an irreversible mu antagonist, suggest that buprenorphine acts as both a mu and kappa agonist on mouse vas deferens. The agonist effect of buprenorphine at relatively high doses on guinea-pig ileum and mouse vas deferens and the negative agonists effect on both rat and rabbit vas deferens indicate that buprenorphine acts as a partial agonist on isolated preparations.

L7 ANSWER 2 OF 2 LIFESCI COPYRIGHT 2008 CSA on STN

ACCESSION NUMBER: 84:97738 LIFESCI

TITLE: Regulation of opioid antagonist and mu, kappa or

delta agonist binding by guanine nucleotide and

sodium.

AUTHOR: Ishizuka, Y.; Oka, T.

CORPORATE SOURCE: Dep. Pharmacol., Sch. Med., Tokai Univ., Isehara 259-11,

Japan

SOURCE: JAP. J. PHARMACOL., (1984) vol. 36, no. 3, pp. 397-405.

DOCUMENT TYPE: Journal FILE SEGMENT: N3; M LANGUAGE: English SUMMARY LANGUAGE: English

Effects of 5'-guanylylimidodiphosphate (Gpp(NH)p) and sodium on the inhibition by various opioids of (super(3)H)-naloxone binding to guinea-pig brain membrane preparations were studied. The ratio of the concentration required to produce a 50% inhibition of (super(3)H)-naloxone binding in the presence of both Gpp(NH)p and sodium to that in the absence of both GPP(NH)p and sodium was less than 1 for antagonits, from 3 to 10 for mixed agonist-antagonists , from 16 to 85 for either kappa, delta, or peptide mu agonists, and more than 200 for morphine-like non-peptide mu agonists.

Exceptionally, the IC50 ratio of N,N-diallyl-(D-Ala super(2), D-Leu super(5))-enkephalin, an opioid which had been shown not to have an agonist activity in guinea-pig ileum but to have a naloxone-reversible agonist activity in mouse vas deferens, was less than 1. The significance of the different IC50 ratio among opioids employed in the present study was discussed.

=> FIL STNGUIDE

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